

=> d his

(FILE 'HOME' ENTERED AT 13:44:48 ON 05 FEB 2005)

FILE 'CAPLUS' ENTERED AT 13:44:57 ON 05 FEB 2005

FILE 'REGISTRY' ENTERED AT 13:45:01 ON 05 FEB 2005

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 STRUCTURE UPLOADED

L4 3 S L3

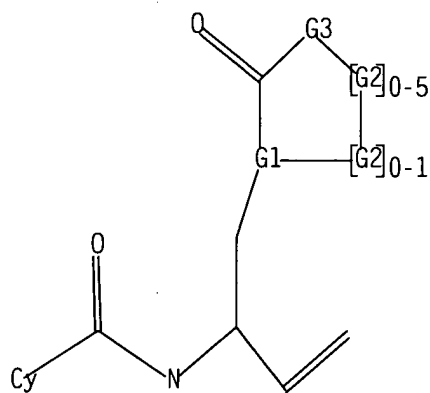
L5 71 S L3 FULL

FILE 'CAPLUS' ENTERED AT 13:47:43 ON 05 FEB 2005

L6 6 S L5

=> d que 11

L1 STR



G1 C.N

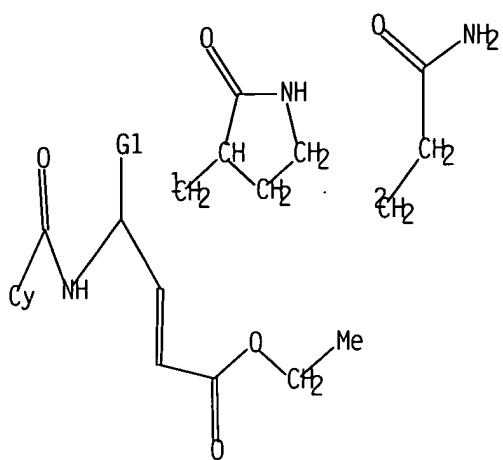
G2 C.O.S.N

G3 C.O.N

Structure attributes must be viewed using STN Express query preparation.

=> d que 16 stat

L3 STR



G1 [01].[02]

Structure attributes must be viewed using STN Express query preparation.

L5 71 SEA FILE=REGISTRY SSS FUL L3

L6 6 SEA FILE=CAPLUS ABB=ON PLU=ON L5

=> d 1-6 ibib iabs hitstr

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:927041 CAPLUS

DOCUMENT NUMBER: 141:388624

TITLE: Methods and compositions for inhibiting SARS-related coronavirus replication by using inhibitors of SARS related coronavirus 3C proteinase

INVENTOR(S): Fuhrman, Shella Ann; Matthews, David Allan; Patlick,

Amy Karen; Rejto, Paul Abraham

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PDX002

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004093860	A1	20041104	WO 2004-1B1307	20040413
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW				
RW: BM, GH, GM, KE, LS, MG, MK, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CN, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004235952	A1	20041125	US 2004-833685	20040427
PRIORITY APPLN. INFO.:				
			US 2003-464566P	P 20030421
			US 2003-468197P	P 20030505
			US 2003-468504P	P 20030506
			US 2003-469065P	P 20030507

## ABSTRACT:

The present invention relates to methods for inhibiting SARS-related coronavirus viral replication activity comprising contacting a SARS-related coronavirus 3C protease with a therapeutically effective amount of a rhinovirus protease inhibitor, and compris. comprising the same. Specifically, the inhibitor is administered orally, i.v. or by inhalation. The pharmaceutical composition for the treatment of SARS related coronavirus in a mammal comprises a pharmaceutically acceptable carrier.

IT 380606-43-9

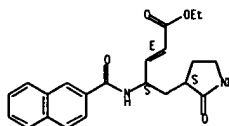
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(inhibition of SARS-related coronavirus replication by using inhibitors of SARS related coronavirus 3C proteinase)

RN 380606-43-9 CAPLUS

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CN 2-Pentenol acid, 4-[(2-naphthalenylcarbonyl)amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:272301 CAPLUS

DOCUMENT NUMBER: 137:27820

TITLE: Structure-Based Design of a Parallel Synthetic Array Directed Toward the Discovery of Irreversible Inhibitors of Human Rhinovirus 3C Protease

AUTHOR(S): Johnson, Theodore O.; Hua, Ye; Luu, Hiep T.; Brown, Edward L.; Chan, Foru; Chu, Shao Song; Dragovich, Peter S.; Eastman, Brian W.; Ferre, Rose Ann; Fuhrman, Shella A.; Hendrickson, Thomas F.; Maldonado, Fausto C.; Matthews, David A.; Meador, James W., III; Patlick, Amy K.; Reich, Siegfried H.; Skellitzky, Donald J.; Worland, Stephen T.; Yang, Michelle; Zalman, Leora S.

CORPORATE SOURCE: Pfizer Global R&D-La Jolla/Agouron Pharmaceuticals Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (2002), 45(10), 2016-2023

CODEN: JMCHEM; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

## ABSTRACT:

Utilizing the tools of parallel synthesis and structure-based design, a new class of Michael acceptor-containing, irreversible inhibitors of human rhinovirus 3C protease (HRV 3CP) was discovered. These inhibitors are shown to inhibit HRV-14 3CP with rates of inactivation ranging from 886 to 31 400 M<sup>-1</sup> sec<sup>-1</sup>. These inhibitors exhibit antiviral activity when tested against HRV-14 infected HI-Mela cells, with EC50 values ranging from 1.94 to 0.15 μM. No cytotoxicity was observed at the limits of the assay concentration. A crystal structure of one of the more potent inhibitors covalently bound to HRV-2 3CP is detailed. These compds. were also tested against HRV serotypes other than type 14 and were found to have highly variable activities.

IT 436085-18-6P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

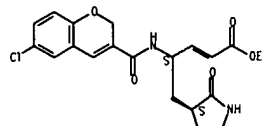
(structure-based design of parallel synthetic array directed toward discovery of irreversible inhibitors of human rhinovirus 3C protease)

RN 436085-18-6 CAPLUS

CN 2-Pentenol acid, 4-[(6-chloro-2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 436085-16-4P 436085-17-5P 436085-19-7P

436085-20-0P 436085-21-1P 436085-22-2P

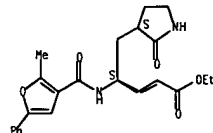
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure-based design of parallel synthetic array directed toward discovery of irreversible inhibitors of human rhinovirus 3C protease)

RN 436085-16-4 CAPLUS

CN 2-Pentenol acid, 4-[(2-methyl-5-phenyl-3-furanyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

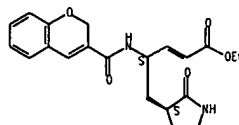
Absolute stereochemistry.  
Double bond geometry unknown.



RN 436085-17-5 CAPLUS

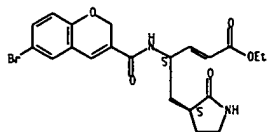
CN 2-Pentenol acid, 4-[(2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



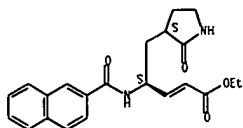
L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)  
 RN 436085-19-7 CAPLUS  
 CN 2-Pentenol acid, 4-[[[6-bromo-2H-1-benzopyran-3-yl]carbonyl]amino]-5-  
 [(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



RN 436085-20-0 CAPLUS  
 CN 2-Pentenol acid, 4-[(2-naphthalenylcarbonyl)amino]-5-[(3S)-2-oxo-3-  
 pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

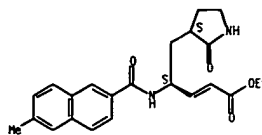
Absolute stereochemistry.  
 Double bond geometry unknown.



RN 436085-21-1 CAPLUS  
 CN 2-Pentenol acid, 4-[[[6-methyl-2-naphthalenyl]carbonyl]amino]-5-[(3S)-2-  
 oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

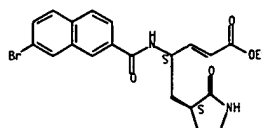
Absolute stereochemistry.  
 Double bond geometry unknown.

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)



RN 436085-22-2 CAPLUS  
 CN 2-Pentenol acid, 4-[[[7-bromo-2-naphthalenyl]carbonyl]amino]-5-[(3S)-2-  
 oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM

ACCESSION NUMBER: 2002:136907 CAPLUS  
 DOCUMENT NUMBER: 137:6380  
 TITLE: Structure-based design, synthesis, and biological  
 evaluation of irreversible human rhinovirus 3C  
 protease inhibitors. Part 7: structure-activity  
 studies of bicyclic 2-pyridone-containing  
 peptidomimetics  
 AUTHOR(S): Dragovich, Peter S.; Prins, Thomas J.; Zhou, Ru;  
 Johnson, Theodore O.; Brown, Edward L.; Maldonado,  
 Fausto C.; Fuhrman, Sheila A.; Zelman, Leora S.;  
 Patlick, Amy K.; Matthews, David A.; Hou, Xinyun;  
 Meador, James W.; Ferre, Rose Ann; Morland, Stephen T.  
 CORPORATE SOURCE: Pfizer Global Research and Development-La  
 Jolla/Agouron Pharmaceuticals, Inc., San Diego, CA,  
 92121-1111, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),  
 12(5), 733-738  
 CODEN: BMCLB; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 137:6380  
 GRAPHIC IMAGE:

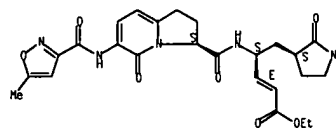
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

ABSTRACT:  
 The structure-based design, chemical synthesis, and biol. evaluation of bicyclic  
 2-pyridone-containing human rhinovirus (HRV) 3C protease (3CP) inhibitors I, II and  
 III (Obz = benzyloxycarbonyl) are described. An optimized compound is shown to  
 exhibit antiviral activity when tested against a variety of HRV serotypes  
 (EC50's ranging from 0.037 to 0.162  $\mu$ M).

IT 343565-96-OP 343566-00-7P 433333-95-OP  
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic  
 preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis and antiviral activity of pyridone-containing peptidomimetics as  
 irreversible human rhinovirus 3C protease inhibitors)  
 RN 343565-96-8 CAPLUS  
 CN 2-Pentenol acid, 5-[(3S)-2-oxo-3-pyrrolidinyl]-4-[[[[(3S)-1,2,3,5-  
 tetrahydro-6-[[[5-methyl-3-isoxazolyl]carbonyl]amino]-5-oxo-3-  
 indolizyl]carbonyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

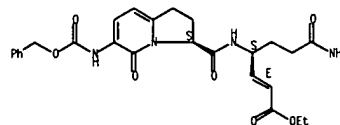
Absolute stereochemistry.  
 Double bond geometry as shown.

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)



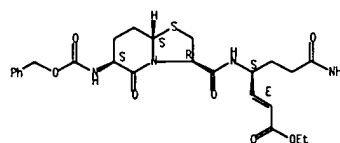
RN 343566-00-7 CAPLUS  
 CN 2-Heptenol acid, 7-amino-4-[[[[(3S)-1,2,3,5-tetrahydro-5-oxo-6-  
 [[[(phenylmethoxy)carbonyl]amino]-3-indolizyl]carbonyl]amino]-, ethyl  
 ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 433333-95-0 CAPLUS  
 CN 2-Heptenol acid, 7-amino-4-[[[[(3R,6S,8aS)-hexahydro-5-oxo-6-  
 [[[(phenylmethoxy)carbonyl]amino]-5H-thiazolo[3,2-a]pyridin-3-  
 yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

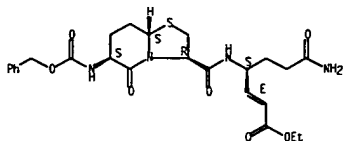
Absolute stereochemistry.  
 Double bond geometry as shown.



IT 433333-95-ODP, resin-bound 433333-97-2DP, resin-bound  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (synthesis and antiviral activity of pyridone-containing peptidomimetics as

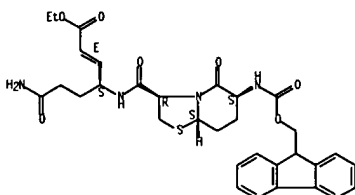
L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)  
 (irreversible human rhinovirus 3C protease inhibitors)  
 RN 433333-95-0 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[[[(3R,6S,8aS)-hexahydro-5-oxo-6-[[[phenylethoxy]carbonyl]amino]-5H-thiazolo[3,2-a]pyridin-3-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 433333-97-2 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[[[(3R,6S,8aS)-6-[[[9H-fluoren-9-yl]ethoxy]carbonyl]amino]hexahydro-5-oxo-5H-thiazolo[3,2-a]pyridin-3-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



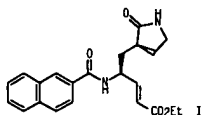
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM  
 ACCESSION NUMBER: 2001:923759 CAPLUS  
 DOCUMENT NUMBER: 136:37504  
 TITLE: Preparation of pyrrolidinylpentenoate derivatives and carbamoylhexenoate derivatives as antipicornaviral compounds  
 INVENTOR(S): Johnson, Theodore O., Jr.; Chu, Shao Song; Hua, Ye; Luu, Hiep T.; Reich, Siegfried Heinz; Skaltitzky, Donald James; Yang, Yi; Chan, For P.; Eastman, Brian Walter; Hendrickson, Thomas F.  
 PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 95 pp.  
 CODEN: PXXXX2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096297	A2	20011220	WO 2001-0519139	20010613
WO 2001096297	A3	20030710		
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412618	AA	20011220	CA 2001-2412618	20010613
US 2002061916	A1	20020523	US 2001-882345	20010613
US 6632825	B2	20031014		
BR 2001011727	A	20030527	BR 2001-11727	20010613
EP 1355878	A2	20031029	EP 2001-944519	20010613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004503533	T2	20040205	JP 2002-510441	20010613
NZ 523134	A	20040827	NZ 2001-523134	20010613
ZA 2003000288	A	20040408	ZA 2003-286	20030110
PRIORITY APPLN. INFO.:				
			US 2000-211424P	P 20000614
			WO 2001-0519139	W 20010613

OTHER SOURCE(S): MARPAT 136:37504  
 GRAPHIC IMAGE:

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)



ABSTRACT:  
 RaCONRbCHcRd:Z1Z2 [Ra = cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Rb = heterocycloalkyl; Rd = H, halo, OH, etc.; Z1 = H, F, alkyl, aryl, etc.], which inhibit or block the biol. activity of the picornaviral 3C protease, were prepared E.g., reaction of 4S-5-(2-oxopyrrolidin-3-yl)pent-2-enoic acid Et ester with 2-naphthoic acid gave 41% pyrrolidinylpentenoate I.

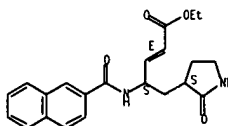
IT 380606-43-9P 380606-44-0P 380606-46-2P  
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 380606-60-0P 380606-61-1P 380606-62-2P  
 380606-63-3P 380606-64-4P 380606-67-7P  
 380606-68-8P 380606-69-9P 380606-70-2P  
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 380606-94-0P 380606-95-1P 380606-96-2P  
 380607-07-8P 380607-08-9P 380607-09-0P  
 380607-10-3P 380607-11-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrrolidinylpentenoate derivs. and carbamoylhexenoate derivs. as antipicornaviral compds.)

RN 380606-43-9 CAPLUS  
 CN 2-Pentenoic acid, 4-[[[(2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

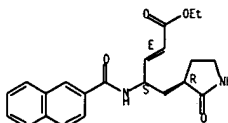
Absolute stereochemistry.  
 Double bond geometry as shown.

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)



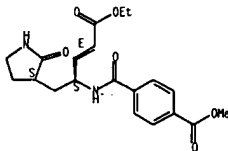
RN 380606-44-0 CAPLUS  
 CN 2-Pentenoic acid, 4-[[[(2-naphthalenyl)carbonyl]amino]-5-[(3R)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380606-46-2 CAPLUS  
 CN Benzoic acid, 4-[[[(1S,2E)-4-ethoxy-4-oxo-1-[[[(3S)-2-oxo-3-pyrrolidinyl]methyl]-2-butenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

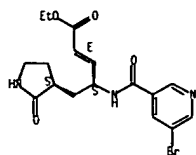
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380606-48-4 CAPLUS  
 CN 2-Pentenoic acid, 4-[[[(5-bromo-3-pyrrolidinyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

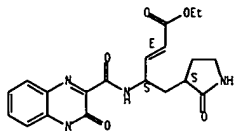
Absolute stereochemistry.

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)  
Double bond geometry as shown.



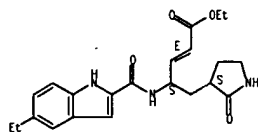
RN 380606-49-5 CAPLUS  
ON 2-Pentenol acid, 4-[[[(3,4-dihydro-3-oxo-2-quinolalyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 380606-50-8 CAPLUS  
ON 2-Pentenol acid, 4-[[[(5-ethyl-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

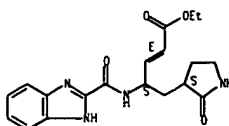
Absolute stereochemistry.  
Double bond geometry as shown.



RN 380606-52-0 CAPLUS

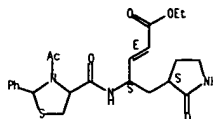
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)  
ON 2-Pentenol acid, 4-[[[(1H-benzotriazol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



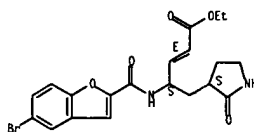
RN 380606-55-3 CAPLUS  
ON 2-Pentenol acid, 4-[[[(3-acetyl-2-phenyl-4-thiazolidinyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 380606-56-4 CAPLUS  
ON 2-Pentenol acid, 4-[[[(5-bromo-2-benzofuranyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

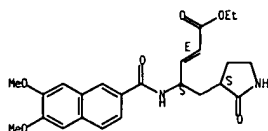
Absolute stereochemistry.  
Double bond geometry as shown.



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)

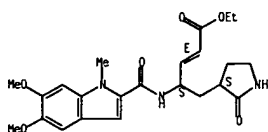
RN 380606-60-0 CAPLUS  
ON 2-Pentenol acid, 4-[[[(6,7-dimethoxy-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



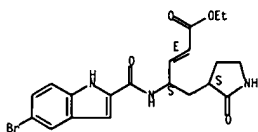
RN 380606-61-1 CAPLUS  
ON 2-Pentenol acid, 4-[[[(5,6-dimethoxy-1-methyl-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 380606-62-2 CAPLUS  
ON 2-Pentenol acid, 4-[[[(5-bromo-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

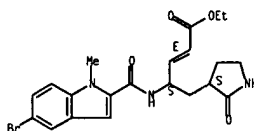
Absolute stereochemistry.  
Double bond geometry as shown.



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STM (Continued)

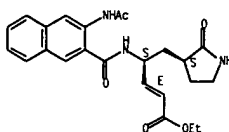
RN 380606-63-3 CAPLUS  
ON 2-Pentenol acid, 4-[[[(5-bromo-1-methyl-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



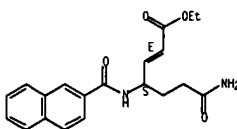
RN 380606-64-4 CAPLUS  
ON 2-Pentenol acid, 4-[[[(3-(acetylamino)-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



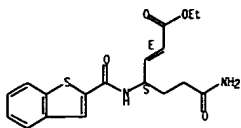
RN 380606-67-7 CAPLUS  
ON 2-Heptenol acid, 7-amino-4-[(2-naphthalenyl)carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



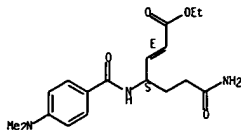
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 RN 380606-68-8 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[(benzo[b]thien-2-ylcarbonyl)amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380606-69-9 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[[4-(diethylamino)benzoyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

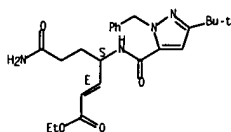


RN 380606-70-2 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-7-oxo-4-[(2-quinolylcarbonyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

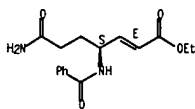
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 INDEX NAME

Absolute stereochemistry.  
 Double bond geometry as shown.



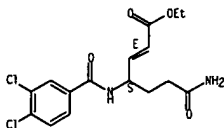
RN 380606-76-8 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-(benzoylamino)-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



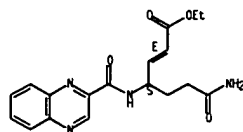
RN 380606-77-9 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[(3,4-dichlorobenzoyl)amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



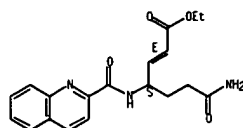
RN 380606-78-0 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[[2-[(benzyloxy)methyl]benzoyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



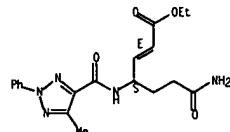
RN 380606-73-5 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-7-oxo-4-[(2-quinolylcarbonyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



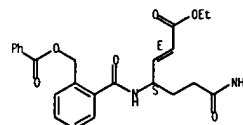
RN 380606-74-6 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[[[5-methyl-2-phenyl-2H-1,2,3-triazol-4-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



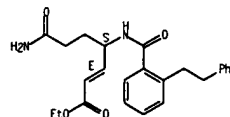
RN 380606-75-7 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[[[3-(1,1-dimethylethyl)-1-(phenylmethyl)-1H-pyrazol-5-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 Absolute stereochemistry.  
 Double bond geometry as shown.



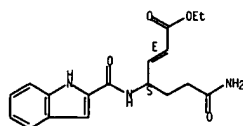
RN 380606-79-1 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[2-(2-phenylethyl)benzoyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380606-80-4 CAPLUS  
 CN 2-Heptenoic acid, 7-amino-4-[(1H-indol-2-ylcarbonyl)amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

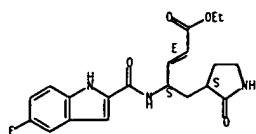
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380606-81-5 CAPLUS  
 CN 2-Pentenoic acid, 4-[[[5-fluoro-1H-indol-2-yl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidiny]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

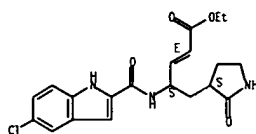
Absolute stereochemistry.  
 Double bond geometry as shown.

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



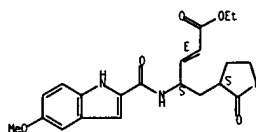
RN 380606-82-6 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380606-83-7 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(5-methoxy-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

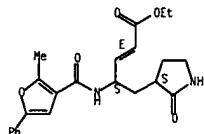


RN 380606-84-8 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(7-nitro-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

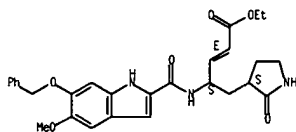
RN 380606-87-1 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(2-methyl-5-phenyl-3-furanyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



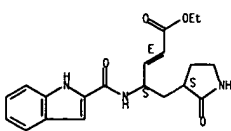
RN 380606-88-2 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(5-methoxy-6-(phenylmethoxy)-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



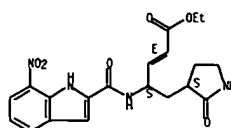
RN 380606-89-3 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



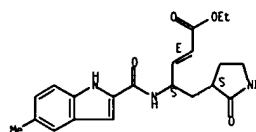
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.  
 Double bond geometry as shown.



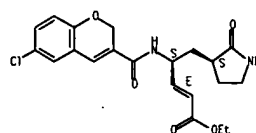
RN 380606-85-9 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(5-methyl-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380606-86-0 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(6-chloro-2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

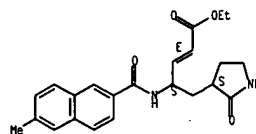
Absolute stereochemistry.  
 Double bond geometry as shown.



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

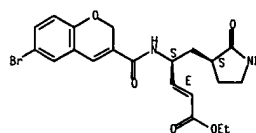
RN 380606-93-9 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(6-methyl-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



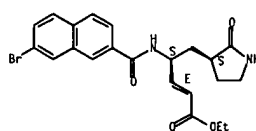
RN 380606-94-0 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(6-bromo-2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380606-95-1 CAPLUS  
 ON 2-Pentenoic acid, 4-[[[(7-bromo-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

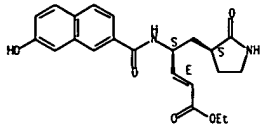


RN 380606-96-2 CAPLUS



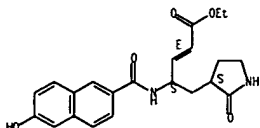
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 CN 2-Pentenol acid, 4-[[[17-hydroxy-2-naphthalenyl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380607-07-8 CAPLUS  
 CN 2-Pentenol acid, 4-[[[6-hydroxy-2-naphthalenyl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9C1) (CA INDEX NAME)

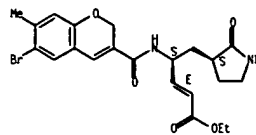
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380607-08-9 CAPLUS  
 CN 2-Pentenol acid, 4-[[[6-bromo-7-methyl-2-naphthalenyl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9C1) (CA INDEX NAME)

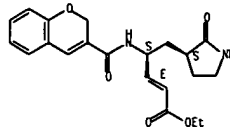
Absolute stereochemistry.  
 Double bond geometry as shown.

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



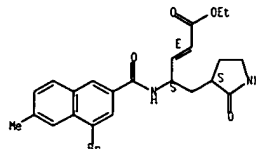
RN 380607-09-0 CAPLUS  
 CN 2-Pentenol acid, 4-[[[21-benzopyran-3-yl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380607-10-3 CAPLUS  
 CN 2-Pentenol acid, 4-[[[4-bromo-6-methyl-2-naphthalenyl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9C1) (CA INDEX NAME)

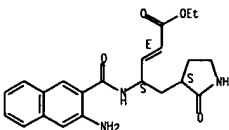
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 380607-11-4 CAPLUS  
 CN 2-Pentenol acid, 4-[[[3-amino-2-naphthalenyl]carbonyl]amino]-5-[(3S)-2-

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
 oxa-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

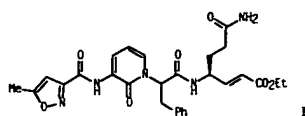


L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:416905 CAPLUS  
 DOCUMENT NUMBER: 135:33649  
 TITLE: Preparation of pyridonylacetamide peptide analogs as antipicornaviral agents.  
 INVENTOR(S): Dragovich, Peter S.; Prins, Thomas J.; Zhou, Ru; Johnson, Theodore O., Jr.  
 PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl.. 210 pp.  
 CODEN: PIDX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WD 2001040189	A1	20010607	WD 2000-US32621	20001201
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OL, OM, OS, PA, PE, PG, PH, PK, PL, PT, RD, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CN, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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US 2001047006	A1	20011129	US 2000-726376	20001201
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ZA 2002004335	A	20030405	ZA 2002-4335	20020530
BG 106754	A	20030731	BG 2002-106754	20020530
NO 2002002589	A	20020731	NO 2002-2589	20020531
PRIORITY APPL. INFO.:				
US 1999-168986P P 19991203				
US 2000-192052P P 20000324				
WD 2000-US32621 W 20001201				
OTHER SOURCE(S): MARPAT 135:33649				
GRAPHIC IMAGE:				

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



**ABSTRACT:**  
 RaCONR<sub>2</sub>CR<sub>2</sub>CR<sub>2</sub>CZ1 [Ra = (substituted) heterocycloalkyl, heterocycloalkylalkyl; Rb = specified (substituted) oxo(hetero)cyclylmethyl; Rc = H, halo, (substituted) alkyl; Rd = H, halo, OH, (substituted) alkyl, alkoxy, alkylthio; Re = H, (substituted) alkyl; Z, Z1 = H, F, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; ZRd, Z1 = atoms to form a cycloalkyl, heterocycloalkyl group], were prepared. Thus, Et trans-(2'S,4S)-4-[2-(3-tert-butoxycarbonylamino-2-oxo-2H-pyridin-1-yl)-3-phenylpropionylamino]-6-(tritylcarbamoyl)hex-2-enoate (preparation given) was heated to 190-200° for 65 min to give a residue which in MeCN at 0° was treated with 5-methylisoxazole-3-carbonyl chloride and 4-methylmorpholine followed by warming to 23° to give 55% Et trans-(2'S,4S)-4-[2-[3-[(5-methylisoxazole-3-carbonyl)amino]-2-oxo-2H-pyridin-1-yl]-3-phenylpropionylamino]-6-(tritylcarbamoyl)hex-2-enoate. The latter was treated with (MeOH)3SiH and CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> to give 85% title compound (I). I showed an EC<sub>50</sub> = 0.016 μM against human rhinovirus-14 in HeLa cell culture assay.

IT 343565-96-8P 343565-97-9P 343566-00-7P  
 343567-01-1P

RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)  
 (preparation of pyridonylacetamide peptide analogs as antipicornaviral agents)

RN 343565-96-8 CAPLUS

ON 2-Pentenol acid, 5-[(3S)-2-oxo-3-pyrrolidinyl]-4-[[[(3S)-1,2,3,5-tetrahydro-6-[[[(5-methyl-3-isoxazolyl)carbonyl]amino]-5-oxo-3-indolizyl]carbonyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

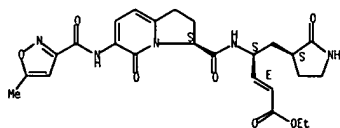
RN 343567-01-1 CAPLUS

ON 2-Pentenol acid, 5-[(3S)-2-oxo-3-pyrrolidinyl]-4-[[[(3S)-1,2,3,5-tetrahydro-6-[[[(5-methyl-3-isoxazolyl)carbonyl]amino]-5-oxo-3-indolizyl]carbonyl]amino]-, ethyl ester, (2E,4S)-, trifluoroacetate (5:3) (9CI) (CA INDEX NAME)

CH 1

CRN 343565-96-8  
 CMF C25 H29 N5 O7

Absolute stereochemistry.  
 Double bond geometry as shown.



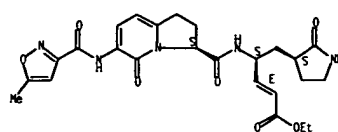
CH 2

CRN 76-05-1  
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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

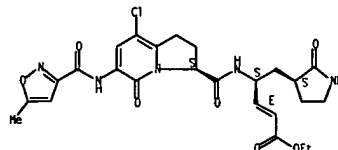
L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 343565-97-9 CAPLUS

ON 2-Pentenol acid, 4-[[[(3S)-8-chloro-1,2,3,5-tetrahydro-6-[[[(5-methyl-3-isoxazolyl)carbonyl]amino]-5-oxo-3-indolizyl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

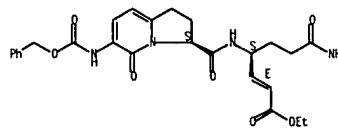
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 343566-00-7 CAPLUS

ON 2-Heptenol acid, 7-amino-7-oxo-4-[[[(3S)-1,2,3,5-tetrahydro-5-oxo-6-[[[(phenylmethoxy)carbonyl]amino]-3-indolizyl]carbonyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:404983 CAPLUS

DOCUMENT NUMBER: 131:45107

TITLE: Preparation of peptidyl antipicornaviral compounds  
 Webber, Stephen E.; Dragovich, Peter S.; Prins, Thomas J.; Littlefield, Ethel S.; Markovits, Joseph T.; Babine, Robert E.

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931122	A1	19990624	WO 1998-US26583	19981215
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5962487	A	19991005	US 1997-991739	19971216
CA 2312940	AA	19990624	CA 1998-2312940	19981215
AU 9918262	A1	19990705	AU 1999-18262	19981215
AU 762682	B2	20030703		
EP 1037905	A1	20000927	EP 1998-963184	19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813651	A	20001003	BR 1998-13651	19981215
JP 2002508389	T2	20020319	JP 2000-539045	19981215
NO 2000003067	A	20000815	NO 2000-3067	20000615
PRIORITY APPLN. INFO.:				
			US 1997-991739	A 19971216
			WO 1998-US26583	W 19981215

OTHER SOURCE(S): MARPAT 131:45107

ABSTRACT:

Picornaviral 3C protease inhibitors RBR4NCR3R6C((H)NR7CR2R5CR1):CZ1 [H = O, S; R1 = H, F, alkyl, OH, SH, O-alkyl group; R2, R5 = H, alkyl, X-Y1-A1(B1)O1, X-Y2-A2(B2)O2 (X = :CH, :CF, CH2, CF2, CHF, S; Y1, Y2 = :CH, :CF, or X and Y1 or Y2 may form a ring; A1, A2 = C, CH, CF, S, P, Se, N, etc.); O1 and O2 are moieties with a lone pair of electrons capable of forming a hydrogen bond; B1, B2 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.); R3, R6 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, CH<sub>2</sub>, OH, SH, etc.; R4 is any suitable organic moiety or R4 and R3 or R6 may form a ring; R7, R8 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc. or R4 and R8 may form a ring; Z, Z1 are H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.] were prepared. Thus, Et 3-(Cbz-L-N-Me-Phe-L-Gln)-E-propenoate (Cbz = benzyloxycarbonyl) was prepared and showed K<sub>i</sub> >100 μM for inhibition of Rhinovirus protease.

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

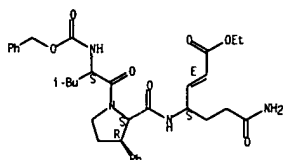
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 227613-19-6P 227613-27-6P 227613-40-3P  
 227613-41-4P 227613-42-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of peptidyl antipicornaviral compds.)

RN 227613-08-3 CAPLUS

ON L-Prolinamide, N-[(phenylethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-3-phenyl-, (3R)- (9CI) (CA INDEX NAME)

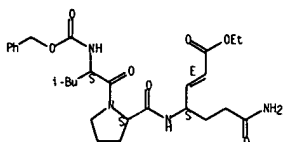
Absolute stereochemistry.  
 Double bond geometry as shown.



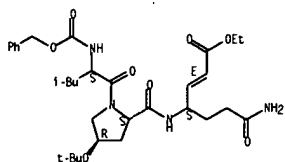
RN 227613-09-4 CAPLUS

ON L-Prolinamide, N-[(phenylethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



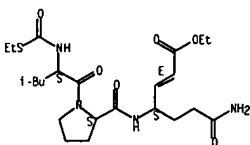
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 227613-14-1 CAPLUS

ON L-Prolinamide, N-[(ethylthio)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]- (9CI) (CA INDEX NAME)

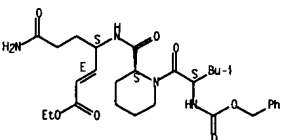
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 227613-15-2 CAPLUS

ON 2-Heptenoic acid, 7-amino-4-[[[1-[(2S)-4-methyl-1-oxo-2-[[[(phenylethoxy)carbonyl]amino]pentyl]-2-piperidinyl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 227613-17-4 CAPLUS

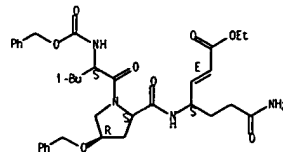
ON 2-Heptenoic acid, 7-amino-4-[[[1-[(2S)-4-methyl-1-oxo-2-

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 227613-10-7 CAPLUS

ON L-Prolinamide, N-[(phenylethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-4-(phenylethoxy)-, (4R)- (9CI) (CA INDEX NAME)

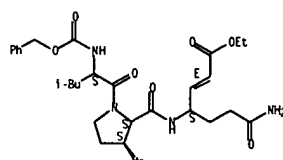
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 227613-11-8 CAPLUS

ON L-Prolinamide, N-[(phenylethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-3-methyl-, (3S)- (9CI) (CA INDEX NAME)

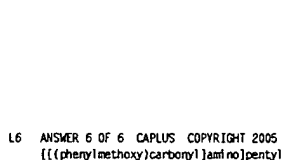
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 227613-13-0 CAPLUS

ON L-Prolinamide, N-[(phenylethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-4-(1,1-dimethylethoxy)-, (4R)- (9CI) (CA INDEX NAME)

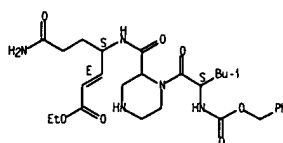
Absolute stereochemistry.  
 Double bond geometry as shown.



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

[[[(phenylethoxy)carbonyl]amino]pentyl]-2-piperazinyl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

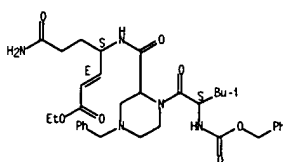
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 227613-18-5 CAPLUS

ON 2-Heptenoic acid, 7-amino-4-[[[1-[(2S)-4-methyl-1-oxo-2-[[[(phenylethoxy)carbonyl]amino]pentyl]-2-piperazinyl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



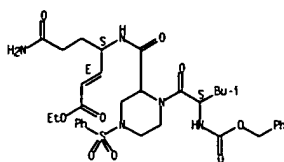
RN 227613-19-6 CAPLUS

ON 2-Heptenoic acid, 7-amino-4-[[[1-[(2S)-4-methyl-1-oxo-2-[[[(phenylethoxy)carbonyl]amino]pentyl]-4-(phenylsulfonyl)-2-piperazinyl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



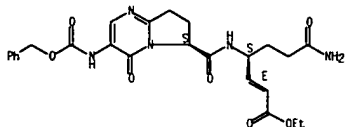
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 227613-27-6 CAPLUS

CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[[(6S)-3-[[[(cyclopentylthio)carbonyl]amino]-4,6,7,8-tetrahydro-4-oxopyrrolidin-6-yl]carbonyl]amino]-7-oxo-ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

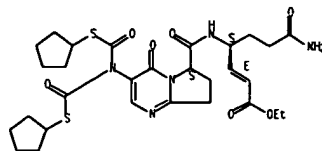


RN 227613-40-3 CAPLUS

CN 2-Heptenoic acid, 7-amino-4-[[[(6S)-3-[[[(cyclopentylthio)carbonyl]amino]-4,6,7,8-tetrahydro-4-oxopyrrolidin-6-yl]carbonyl]amino]-7-oxo-ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

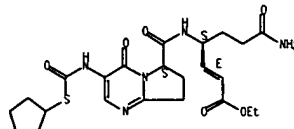
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 227613-41-4 CAPLUS

CN 2-Heptenoic acid, 7-amino-4-[[[(6S)-3-[[[(cyclopentylthio)carbonyl]amino]-4,6,7,8-tetrahydro-4-oxopyrrolidin-6-yl]carbonyl]amino]-7-oxo-ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

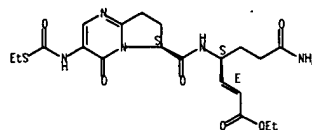
Absolute stereochemistry.  
Double bond geometry as shown.



RN 227613-42-5 CAPLUS

CN 2-Heptenoic acid, 7-amino-4-[[[(6S)-3-[[[(cyclopentylthio)carbonyl]amino]-4,6,7,8-tetrahydro-4-oxopyrrolidin-6-yl]carbonyl]amino]-7-oxo-ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 9 SEA FILE=CAPLUS ABB=ON PLU=ON ("JOHNSON THEODORE O JR"/AU OR  
"JOHNSON THEODORE OTTO JR"/AU)  
L8 13 SEA FILE=CAPLUS ABB=ON PLU=ON "HUA YE"/AU  
L9 3 SEA FILE=CAPLUS ABB=ON PLU=ON "LUU HIEP THE"/AU  
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"SKALITZKY DONALD JAMES"/AU)  
L13 560 SEA FILE=CAPLUS ABB=ON PLU=ON "YANG YI"/AU  
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L17 639 SEA FILE=CAPLUS ABB=ON PLU=ON L7 OR L8 OR L9 OR L10 OR L11  
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L18 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:923759 CAPLUS

DN 136:37504

TI Preparation of pyrrolidinylpentenoate derivatives and carbazoylhexenoate derivatives as antipicornaviral compounds

IN Johnson, Theodore O., Jr.; Chu, Shao Song; Hua,

Ye; Luo, Hiep T.; Reich, Siegfried Heinz; Skellitzky, Donald

James; Yang, Yi; Chan, Fore P.; Eastman,

Brian Walter; Hendrickson, Thomas F.

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 85 pp.

CODEN: PDX02

DT Patent

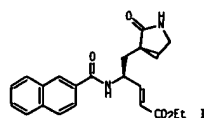
LA English

FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001096297	A2	20011220	WO 2001-0519139	20010613
WO 2001096297	A3	20030710		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GM, HL, HR, NE, SN, TD, TG				
CA 2412618	AA	20011220	CA 2001-2412618	20010613
US 2002061916	A1	20020523	US 2001-082345	20010613
US 6632825	B2	20031014		
BR 2001011727	A	20030527	BR 2001-11727	20010613
EP 1355878	A2	20031029	EP 2001-944519	20010613
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JP 2004503533	T2	20040205	JP 2002-510441	20010613
NZ 523134	A	20040827	NZ 2001-523134	20010613
ZA 2003000286	A	20040408	ZA 2003-286	20030110
PRAI US 2000-211424P	P	20000614		
WO 2001-0519139	M	20010613		
OS MARPAT 136:37504				
GI				

L18 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)



AB  $RaCON(Rb)CH(Rc)Cd$ :Z1Z [Ra = cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Rb = heterocyclyl; Rd = H, halo, OH, etc.; Rb = H, alkyl; Z, Z1 = H, F, alkyl, aryl, etc.], which inhibit or block the biol. activity of the picornaviral 3C protease, were prepared. E.g., reaction of 4S-5-(2-oxopyrrolidin-3S-yl)pent-2-enoic acid Et ester with 2-naphthoic acid gave 41A pyrrolidinylpentenoate 1.

L18 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:780850 CAPLUS

DN 135:331676

TI Preparation of pyrrole-containing peptidomimetic compounds as antipicornaviral agents

IN Johnson, Theodore O., Jr.; Hua, Ye; Luo, Hiep T.;

Dragovich, Peter S.

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 206 pp.

CODEN: PDX02

DT Patent

LA English

FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001079167	A2	20011025	WO 2001-0512333	20010412
WO 2001079167	A3	20020228		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GM, HL, HR, NE, SN, TD, TG				
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US 2002006943	A1	20020117	US 2001-834783	20010412
US 6610730	B2	20030826		
EP 1274682	A2	20030115	EP 2001-925037	20010412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010077	A	20030617	BR 2001-10077	20010412
JP 2003531139	T2	20031021	JP 2001-576769	20010412
ZA 2002008257	A	20030725	ZA 2002-8257	20021014
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PRAI US 2000-197796P	P	20000414		
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WO 2001-0512333	M	20010412		
OS MARPAT 135:331676				
GI				

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(Continued)

AB Peptidomimetic compds.  $RaCON(Rb)CH(Rc)Cd$ :CZ1 [Ra is alkyl-, cycloalkyl-, aryl- or heteroarylcycloalkyl, alkyl-, cycloalkyl-, heterocycloalkyl-, aryl- or heteroarylcycloalkyl, alkyl-, cycloalkyl-, heterocycloalkyl-, where each alkyl-, cycloalkyl-, heterocycloalkyl, aryl and heteroaryl may be substituted; Rb is H or (un)substituted alkyl; Rd is H, halo, OH, (un)substituted alkyl, alkoxy or alkylthio; Rc is  $CR(R^1)R^2$ -CO-A4-(A3)p-R, where R2 = (A2)m (m = 0 or 1; R = H for m = 0); Re, Rf = H, alkyl; p = 0-5; A1 = CH or N; A2 =  $CR(R^1)R^2$ , NR(R^1), SR, S(O)Rg, SO2Rg, O(Rg), R(R^1) = H or alkyl; A3 =  $CR(R^1)R^2$ , NR(R^1), S, SO, SO2, O; A4 = NR(R^1), CR(R^1)R^2, O(Rk) (Rk = H or alkyl); Z, Z1 = H, F (un)substituted alkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl or CZ1 is (hetero)cycloalkyl (with provisos)] were prepared for inhibiting or blocking the biol. activity of the picornaviral 3C protease. Thus, compound I was prepared by coupling 5-(1-naphthyl)-1H-pyrrole-2-carboxylic acid chloride (preparation given) with Phe-Gln-resin and showed Kobs/I = 30,800 M<sup>-1</sup>s<sup>-1</sup> for inhibition of Rhinovirus 3C virus, EC50 = 0.109  $\mu$ M in the antioxsackieviral cell culture assay, and CC50 (50% cytotoxic dose) >10  $\mu$ M.

